PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Artcle 36 and Rule 70)

Applicant's or agent's file reference PC03003-LG	**FOR FURTHER ACTION**	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. **PCT/KR2003/000683**	International filing date *(day/month/year)* **04 APRIL 2003 (04.04.2003)**	Priority date *(day/month/year)* 08 APRIL 2002 (08.04.2002)

International Patent Classification (IPC) or national classification and IPC

IPC7 C07D 471/04

Applicant

LG LIFE SCIENCES LTD. et al

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 4 sheets, including this cover sheet.

   ☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of _____ sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the report
   - II ☐ Priority
   - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☐ Certain observations on the international application

Date of submission of the demamd	Date of completion of this report
14 OCTOBER 2003 (14.10.2003)	22 JUNE 2004 (22.06.2004)

Name and mailing address of the IPEA/KR
Korean Intellectual Property Office
920 Dunsan-dong, Seo-gu, Daejeon 302-701,
Republic of Korea
Facsimile No. 82-42-472-7140

Authorized officer
KIM, KYOUNG MI
Telephone No. 82-42-481-8161

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International aplication No.
PCT/KR2003/000683

I. Basis of the report

1. With regard to the elements of the international application:*

[X] the international application as originally filed

[ ] the description:
pages _____ , as originally filed
pages _____ , filed with the demand
pages _____, filed with the letter of _____

[ ] the claims:
pages _____ , as originally filed
pages _____ , as amended (together with any statment) under Article 19
pages _____ , filed with the demand
pages _____, filed with the letter of _____

[ ] the drawings:
pages _____ , as originally filed
pages _____ , filed with the demand
pages _____, filed with the letter of _____

[ ] the sequence listing part of the description:
pages _____ , as originally filed
pages _____ , filed with the demand
pages _____, filed with the letter of _____

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.
These elements were available or furnished to this Authority in the following language _____ which is

[ ] the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).

[ ] the language of publication of the international application(under Rule 48.3(b)).

[ ] the language of the translation furnished for the purposes of international preliminary examination(under Rules 55.2 and/ or 55.3).

3. With regard to any **nucleotide** and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

[ ] contained inthe international application in written form.

[ ] filed together with the international application in computer readable form.

[ ] furnished subsequently to this Authority in written form.

[ ] furnished subsequently to this Authority in computer readable form

[ ] The statement that the subsequently furnished written sequence listing does not go beyond the disc losure in the international applicationas as filed has been furinshed.

[ ] The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. [ ] The amendments have resulted in the cancellation of:

[ ] the description, pages _____

[ ] the claims, Nos. _____

[ ] the drawings, sheet _____

5.

[ ] This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box(Rule 70.2(c)).**

* *Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this opinion as "originally filed." and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).*

** *Any replacement sheet containing such amendments must be referred to under item I and annexed to this report.*

INTERNATIONAL PRELIMINARY EXAMINATION

International aplication No.
PCT/KR2003/000683

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-11	YES
	Claims		NO
Inventive step (IS)	Claims	1-11	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-11	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

The following documents are referred to in this report; the numbering will be adhered to in the rest of the procedure:

D1: US 5869670 A (Feb. 8, 1999)
D2: WO 0118002 A1 (March 15, 2001)
D3: WO 9944991 A1 (Sep. 10, 1999)

1. Novelty

The present invention relates to a method for producing acid salt of gemifloxacin and an intermediate thereof.

The preparation process of Claims 1-10 is novel over D1-D3 since none of the prior art discloses the preparation method for acid salt of gemifloxacin via an intermediate of Formula 4.

Although the compound of Formula 4 has structural similarity to Formula (I) in D1, it differs in an amino-protecting group from Formula (I) in D1. Therefore, the novelty can be acknowledged for the subject matter of Claim 11 [PCT Article 33(2)].

2. Inventive step

D1 and D2 disclose a process of conjugating a naphthyridine carboxylic acid and 3-amiomethyl-4-methoxyiminopyrrolidine (Formula 2 and 3, respectively in the present invention).

In the present invention, the coupling reaction of Formula 2 with Formula 3 and the protection of amino-group are simultaneously preformed, which prevents the production of a by-product. The invention is not considered to be obvious to a person skilled in the art. Furthermore, the present process has advantages of high yield, short reaction time and being purified without recrystallization. Therefore, the subject-matter of Claims 1-10 is considered to involve an inventive step.

(Continued on Supplemental Box)

Supplemental Box
(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of:

Box V.

The subject matter of Claim 11 is also inventive since the compound of Formula 4 is an intermediate compound for the preparation method of Claims 1-10, which is inventive [PCT Article 33(3)].

3. Industrial applicability
The subject-matter of Claims 1-11 appears to be industrially applicable [PCT Article 33(4)].